

FILE 'REGISTRY' ENTERED AT 14:21:47 ON 13 MAR 2009

L1 STRUCTURE UPLOADED

L2 15 S L1

L3 363 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:23:00 ON 13 MAR 2009

L4 4 S L3

=> file registry
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.22	0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:21:47 ON 13 MAR 2009
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STRUCTURE FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2
DICTIONARY FILE UPDATES: 11 MAR 2009 HIGHEST RN 1119363-64-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

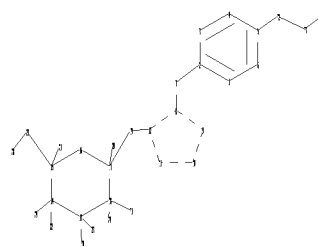
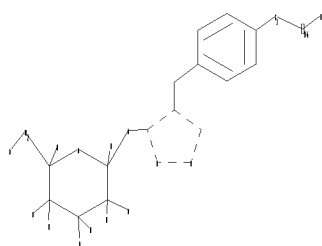
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10525197generic6.str



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chain nodes :
7 19 20 21 22 23 24 27 28 29 30 31 32 33 34
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
2-7 5-32 7-8 12-19 13-23 13-30 14-22 14-29 15-21 15-27 17-19 17-20 18-24
18-31 27-28 32-33 33-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 13-14 13-18 14-15
15-16 16-17 17-18
exact/norm bonds :
5-32 8-9 8-12 9-10 10-11 11-12 12-19 13-14 13-18 13-30 14-15 14-29 15-16
16-17 17-18 17-19 18-31 32-33 33-34
exact bonds :
2-7 7-8 13-23 14-22 15-21 15-27 17-20 18-24 27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

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G1:O,S,C

G2:OH,H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS
32:CLASS 33:CLASS
34:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 14:22:12 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS
SEARCH TIME: 00.00.01

15 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 243 TO 877
PROJECTED ANSWERS: 68 TO 532

L2 15 SEA SSS SAM L1

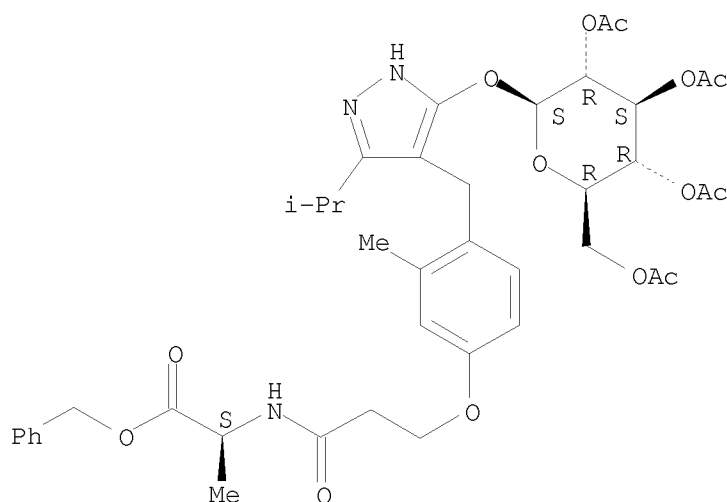
=> d l2 scan

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN L-Alanine, N-[3-[3-methyl-4-[[3-(1-methylethyl)-5-[(2,3,4,6-tetra-O-acetyl-
 β -D-glucopyranosyl)oxy]-1H-pyrazol-4-yl]methyl]phenoxy]-1-oxopropyl]-
, phenylmethyl ester

MF C41 H51 N3 O14

Absolute stereochemistry.

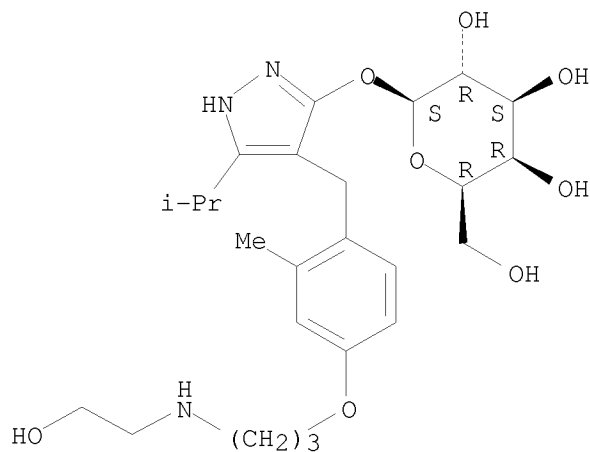


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN β -D-Galactopyranoside, 4-[[4-[3-[(2-hydroxyethyl)amino]propoxy]-2-methylphenyl]methyl]-5-(1-methylethyl)-1H-pyrazol-3-yl
MF C25 H39 N3 O8

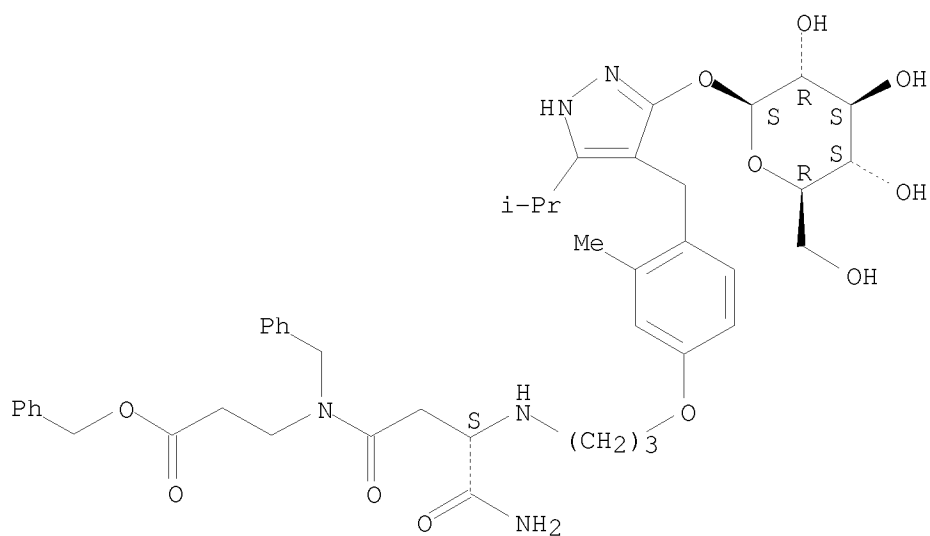
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN β -Alanine, N2-[3-[4-[[3-(β -D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]propyl]-L- α -asparaginy-N-(phenylmethyl)-, phenylmethyl ester (9CI)
MF C44 H57 N5 O11

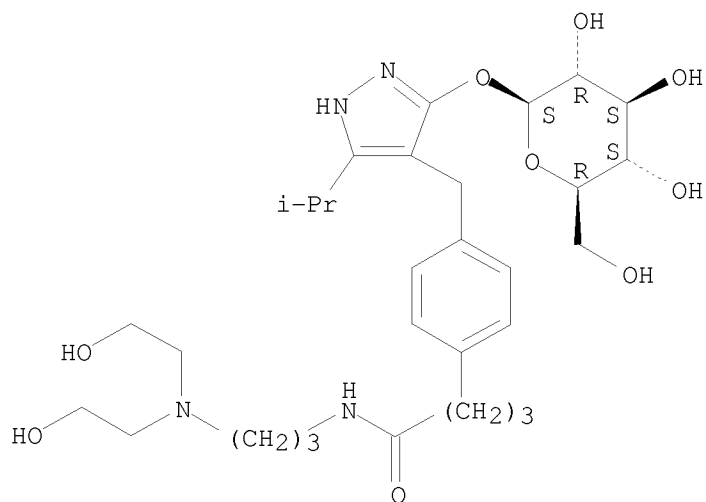
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 15 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
 IN Benzenebutanamide, N-[3-[bis(2-hydroxyethyl)amino]propyl]-4-[[3-(β-D-glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-
 MF C30 H48 N4 O9

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 11 sss full

FULL SEARCH INITIATED 14:22:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 671 TO ITERATE

100.0% PROCESSED 671 ITERATIONS 363 ANSWERS
SEARCH TIME: 00.00.01

L3 363 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
186.36	186.58

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 14:23:00 ON 13 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 13 Mar 2009 VOL 150 ISS 12
FILE LAST UPDATED: 12 Mar 2009 (20090312/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 4 L3

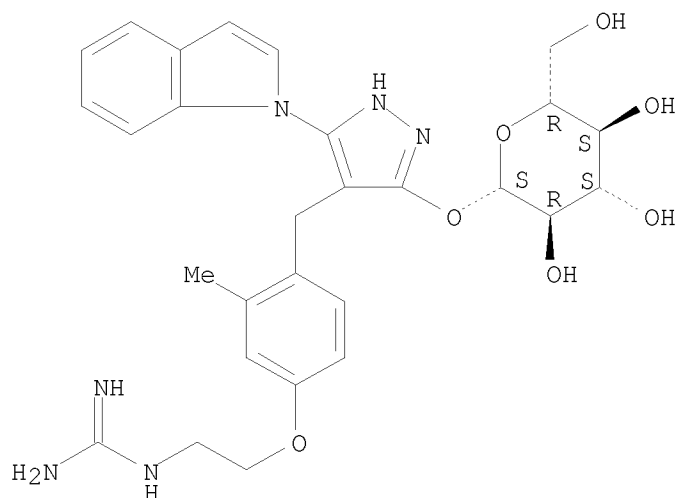
=> d l4 1-4 ti abs bib hitstr

L4 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN
TI Preventive or remedy for diseases caused by hyperglycemia
AB It is intended to provide a medicinal composition containing as the active ingredient a selective SGLT1 inhibitor (for example, an SGLT1 inhibitor substantially showing no GLUT2 and/or GLUT5 inhibitory effect) which exerts a sugar absorption inhibitory effect over a wide range, also has a hypoglycemic effect caused by fructose intake in usual diet and thus can show an outstanding hypoglycemic effect and which is appropriate as a preventive or a remedy for diseases caused by hyperglycemia (for example, diabetes, impaired glucose tolerance, diabetic complications or obesity).
AN 2004:486406 HCAPLUS <<LOGINID::20090313>>
DN 141:47334
TI Preventive or remedy for diseases caused by hyperglycemia
IN Ito, Fumiaki; Shibazaki, Toshihide; Tomae, Masaki; Fushimi, Nobuhiko;

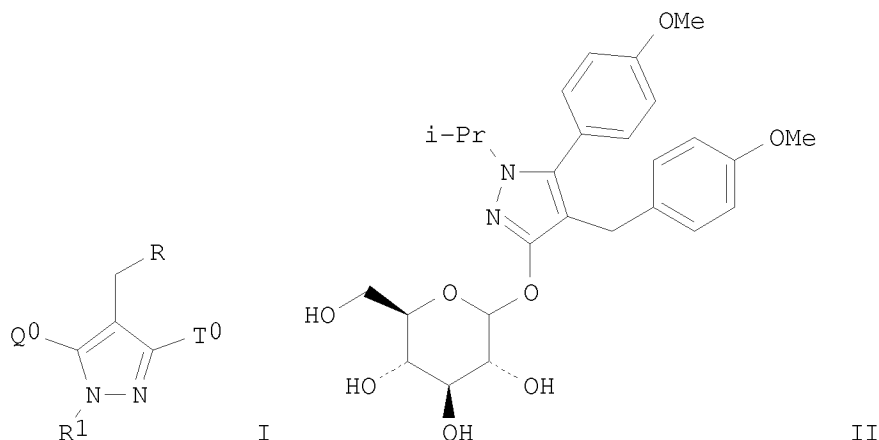
Isaji, Masayuki
 PA Kissei Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050122	A1	20040617	WO 2003-JP15503	20031204
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2003289156	A1	20040623	AU 2003-289156	20031204
	EP 1568380	A1	20050831	EP 2003-777222	20031204
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1744916	A	20060308	CN 2003-80109504	20031204
	US 20060035844	A1	20060216	US 2005-537495	20050603
	IN 2005DN02385	A	20070105	IN 2005-DN2385	20050603
PRAI	JP 2002-352201	A	20021204		
	WO 2003-JP15503	W	20031204		
IT	705445-35-8P, 3-(β -D-Glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-indolyl-1H-pyrazole				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(SGLT1 inhibitors as preventives or remedies for diseases caused by hyperglycemia)				
RN	705445-35-8 HCAPLUS				
CN	Guanidine, [2-[4-[[3-(β -D-glucopyranosyloxy)-5-(1H-indol-1-yl)-1H-pyrazol-4-yl]methyl]-3-methylphenoxy]ethyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



TI Preparation of pyrazolyl glycoside derivatives as inhibitors of
1,5-anhydroglucitol/fructose/mannose transporters
GI



AB The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 = α - or β -D-glucopyranosyloxy or -mannopyranosyloxy or β -D-deoxyglucopyranosyloxy- and the other = (CH₂)_nAr; wherein Ar = each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2] or pharmacol. acceptable salts or prodrugs thereof are prepared Also disclosed are medicinal composition containing the compound I, medicinal use thereof,

and intermediates in producing the same. These compds. exerts an excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose transporters and inhibit reabsorption or cellular uptake of glucose, fructose, and mannose in kidney or absorption of these saccharide small intestine and inhibit the increase in blood sugar. Therefore, they are useful as preventives, progress inhibitors or remedies for a disease caused by the over intake of at least one saccharide selected from among glucose, fructose, and mannose or a disease caused by hyperglycemia (diabetic complication, diabetes, or diabetic nephropathy). Thus, glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo- α -D-glucose in the presence of benzyltributylammonium bromide in a mixture of CH₂Cl₂ and 5 N aqueous NaOH at room temperature for

1.5 h followed by treatment of the product with NaOMe in MeOH gave 3-(β -D-glucopyranosyloxy)-1-isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole (II). II in vitro inhibited the uptake of [¹⁴C]methyl α -D-glucopyranoside in COS-7 cells transfected with human SMINT/PME18S-FL expression plasmid with IC₅₀ of 92 nM.

AN 2004:311011 HCAPLUS <<LOGINID::20090313>>

DN 140:321649

TI Preparation of pyrazolyl glycoside derivatives as inhibitors of
1,5-anhydroglucitol/fructose/mannose transporters

IN Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shigeki; Yamato, Tokuhisa; Isaji, Masayuki

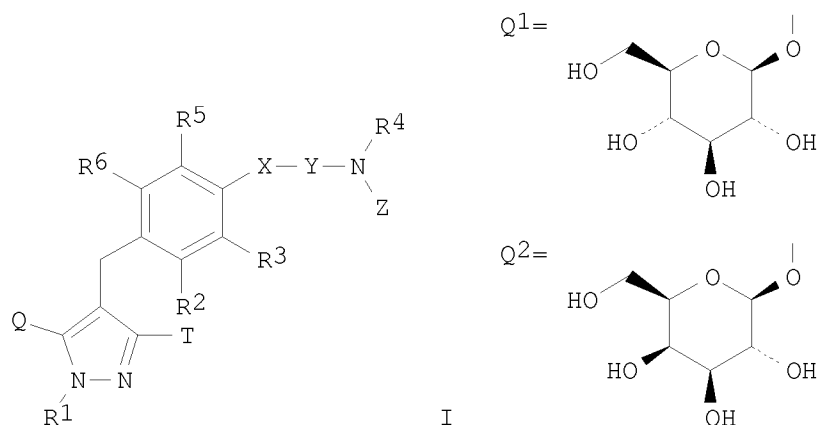
PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004031203	A1	20040415	WO 2003-JP12477	20030930
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2500873	A1	20040415	CA 2003-2500873	20030930
	AU 2003272903	A1	20040423	AU 2003-272903	20030930
	EP 1550668	A1	20050706	EP 2003-753967	20030930
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	US 20060128635	A1	20060615	US 2005-529895	20050919
PRAI	JP 2002-293090	A	20021004		
	JP 2002-330694	A	20021114		
	JP 2002-378959	A	20021227		
	WO 2003-JP12477	W	20030930		
OS	MARPAT 140:321649				
IT	678994-69-9P 678994-70-2P 678994-71-3P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)				
RN	678994-69-9 HCAPLUS				
CN	Acetamide, 2-[4-[[3-(β -D-glucopyranosyloxy)-5-(4-methoxyphenyl)-1-(1-methylethyl)-1H-pyrazol-4-yl]methyl]-3-methoxyphenoxy]- (CA INDEX NAME)				
L4	ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN				
TI	Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof				
GI					



AB Pyrazole derivs. represented by the general formula (I) [R1 = H, C1-6 alkyl, C2-6 alkenyl, hydroxy-C2-6 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, each (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1 or Q2 and the other = C1-6 alkyl, halo-C1-5 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = optionally hydroxy-substituted C1-6 alkylene or C2-6 alkenylene; Z = RB, CORC, SO2RC, CO(RD)RE, SO2NHRF, C(:NRG)N(RH)RI; wherein RC = each (un)substituted aryl, heteroaryl, or C1-6 alkyl; R4, RB, RD, RE, RF = H, each (un)substituted aryl, heteroaryl, or C1-6 alkyl; NR4RB or NRDRE together forms (un)substituted C2-6 cyclic amino; RG, RH, RI = H, (un)substituted C1-6 alkyl, etc.; R3, R5, R6 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, fasting blood sugar abnormality, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, and gout and for diseases attributable to an increased blood galactose level such as galactosemia. For example, 3-(β -D-glucopyranosyloxy)-4-[[4-[3-[3-(2-hydroxy-1,1-dimethylethyl)ureido]propoxy]-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole in vitro inhibited the uptake of [14 C]methyl α -D-glucopyranoside in CHO-K1 cells expressing human SGLT1 with IC50 of 19 nM. For another example, 3-(β -D-glucopyranosyloxy)-4-[[4-(2-guanidinoethoxy)-2-methylphenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered the serum glucose concentration from 303 \pm 63 (control) to 165 \pm 17 mg/dL after 1 h in rats with streptozotocin-induced diabetes.

AN 2004:182896 HCAPLUS <<LOGINID::20090313>>

DN 140:236000

TI Preparation of 4-benzylpyrazolyl glucopyranosides and galactopyranoside derivatives as sodium-glucose cotransporter (SGLT1) inhibitors, medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Fushimi, Nobuhiko; Shimizu, Kazuo; Yonekubo, Shigeru; Teranishi, Hiroataka; Tomae, Masaki; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018491	A1	20040304	WO 2003-JP10551	20030821
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	AU 2003262263	A1	20040311	AU 2003-262263	20030821
	EP 1548024	A1	20050629	EP 2003-792760	20030821
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	ZA 2005001549	A	20060726	ZA 2005-1549	20030821
	NZ 538423	A	20070223	NZ 2003-538423	20030821
	US 20050272669	A1	20051208	US 2005-525197	20050222
	MX 2005002129	A	20050603	MX 2005-2129	20050223
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	JP 2002-324076	A	20021107		
	WO 2003-JP10551	W	20030821		
	IN 2005-DN666	A3	20050221		
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IT	666841-86-7P	666841-87-8P	666841-88-9P		
	666841-89-0P	666841-91-4P	666841-92-5P		
	666841-93-6P	666841-94-7P	666841-95-8P		
	666841-96-9P	666841-97-0P	666841-98-1P		
	666841-99-2P	666842-00-8P	666842-01-9P		
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of benzylpyrazolyl glucopyranosides and galactopyranosides as
 sodium-glucose cotransporter (SGLT1) inhibitors for prevention or
 treatment of diseases attributable to hyperglycemia or galactosemia)

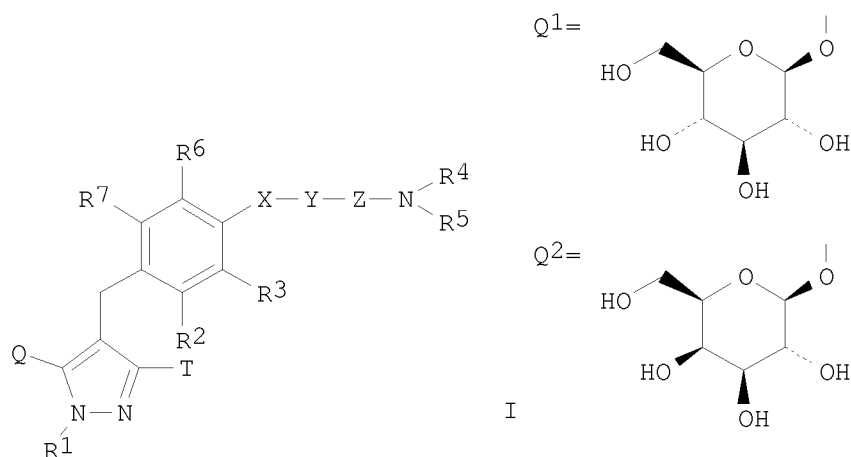
RN 666841-86-7 HCAPLUS

CN β -D-Glucopyranoside, 4-[[4-(3-aminopropoxy)phenyl]methyl]-5-(1-
 methylethyl)-1H-pyrazol-3-yl, 2,3,4,6-tetraacetate (CA INDEX NAME)

L4 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives
 inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal
 composition containing the same, medicinal use thereof, and intermediate
 for production thereof

GI



AB Pyrazoles derivs. represented by the general formula (I) [R1 = H, C1-5 alkyl, C2-5 alkenyl, hydroxy-C2-5 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl (un)substituted aryl or aryl-C1-6 alkyl; one of Q and T = Q1, Q2 and the other = C1-5 alkyl, halo-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C3-7 cycloalkyl; R2 = H, halo, OH, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo-C1-6 alkyl, halo-C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, C3-7 cycloalkyl-C2-6 alkoxy, etc.; X = a single bond, O, S; Y = a single bond, C1-6 alkylene, C2-6 alkenylene; Z = CO, SO2; R4, R5 = H, (un)substituted C1-6 alkyl; or NR4R5 together forms an (un)substituted C2-6 cyclic amino; R3, R6, R7 = H, halo, C1-6 alkyl, C1-6 alkoxy] or pharmacol. acceptable salts thereof or prodrug of either are prepared These compds. have excellent human SGLT1 inhibitory activity and are useful as preventives or therapeutic agents for (1) diseases attributable to hyperglycemia such as diabetes, impaired glucose tolerance, complications of diabetes, obesity, hyperinsulinemia, hyperlipidemia, hypercholesteremia, hypertriglycemia, lipid metabolism disorder, atherosclerosis, hypertension, ischemic heart failure, edema, hyperuricemia, or gout and (2) diseases attributable to high level of galactose, galactosemia. For example, 3-(β -D-glucopyranosyloxy)-4-[[4-[3-[2-hydroxy-1,1-bis(hydroxymethyl)ethylcarbamoyl]propyl]phenyl]methyl]-5-isopropyl-1H-pyrazole at 1 mg/kg p.o. lowered blood glucose in diabetic rats from 297 \pm 35 to 178 \pm 19 mg/dL in 1 h.

AN 2004:143172 HCAPLUS <<LOGINID::20090313>>

DN 140:199632

TI Preparation of pyrazolyl glucopyranoside and galactopyranoside derivatives inhibitors of human sodium-glucose cotransporter 1 (SGLT1), medicinal composition containing the same, medicinal use thereof, and intermediate for production thereof

IN Teranishi, Hirotaka; Fushimi, Nobuhiko; Yonekubo, Shigeru; Shimizu, Kazuo; Shibasaki, Toshihide; Isaji, Masayuki

PA Kissei Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2004014932	A1	20040219	WO 2003-JP10048	20030807

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	JP 2002-321729	A	20021105			
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	US 2005-523820	A3	20050204			

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
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(preparation of pyrazolyl glucopyranoside and galactopyranoside derivs.
inhibitors of human sodium-glucose cotransporter 1 (SGLT1) for
preventives or therapeutics for diseases related to hyperglycemia or
galactosemia)

RN 661479-26-1 HCAPLUS

CN Benzenebutanamide, N-(2-amino-2-oxoethyl)-4-[[3-(β -D-
glucopyranosyloxy)-5-(1-methylethyl)-1H-pyrazol-4-yl]methyl]- (CA INDEX
NAME)